

The listing of the claims which follows replaces any and all prior versions and/or listings of the claims in the application.

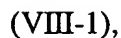
(X)

(XI)

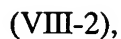
(VIII)

(IX)

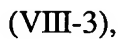
(H-1) contacting a compound of Formula VIII-1:



a compound of Formula VIII-2:



a compound of Formula VIII-3:



or a compound of Formula IX-1:



with a strong base to obtain Compound XI; wherein:

W is an amine protective group;

L is a hydroxy activating group;

Y is halo;

R¹ is:

- (1) H,
- (2) C₁₋₆ alkyl,
- (3) C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl,

wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂, or

(4) aryl which is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

R², R³, each R⁴, each R⁵, R⁶, and R⁷ are independently:

- (1) H,
- (2) C₁₋₆ alkyl, or
- (3) C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl,

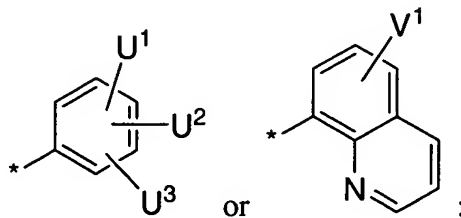
wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

R⁸ is (i) a mixture of R^A and R^B, wherein R^A and R^B are different C₁₋₆ alkyl groups, or is (ii) R^C, wherein R^C is a C₁₋₆ alkyl;

each aryl is independently phenyl or naphthyl;

n is an integer equal to zero, 1, 2 or 3;

T is



U^1 , U^2 and U^3 are each independently selected from the group consisting of H, halo, C₁₋₆ alkyl, O-C₁₋₆ alkyl, C₁₋₆ fluoroalkyl, SO₂-C₁₋₆ alkyl, C(=O)-NH(-C₁₋₆ alkyl), C(=O)-N(-C₁₋₆ alkyl)₂, and HetA;

V¹ is H, halo, C₁₋₆ alkyl, or C₁₋₆ fluoroalkyl; and

each HetA is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with 1 or 2 C₁₋₆ alkyl groups.

2. (original) The process according to claim 1, wherein L is:

- (1) SO₂R^I,
- (2) P(O)(R^J)₂, or
- (3) P(O)(-OR^K)₂;

wherein

R^I is (i) C₁₋₆ alkyl, (ii) C₁₋₆ haloalkyl, (iii) C₁₋₆ alkyl substituted with aryl, (iv) aryl, or (v) camphoryl;

each R^J is independently (i) C₁₋₆ alkyl, (ii) C₁₋₆ haloalkyl, (iii) C₁₋₆ alkyl substituted with aryl, or (iv) aryl; and

each R^K is independently (i) C₁₋₆ alkyl or (ii) C₁₋₆ alkyl substituted with aryl; and

wherein any aryl defined in R^I, R^J, and R^K is optionally substituted with from 1 to 5 substituents each of which is independently halogen, -C₁₋₄ alkyl, -O-C₁₋₄ alkyl, CF₃, OCF₃, CN, or nitro.

3. (original) The process according to claim 1, wherein W is an amine protective group selected from the group consisting of:

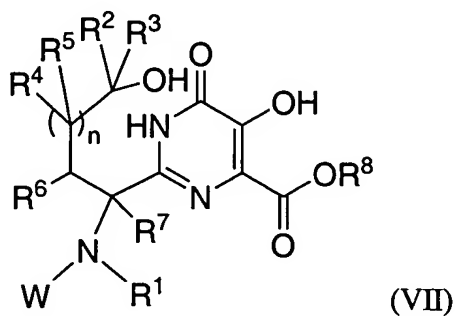
- (1) C₁₋₆ alkyl substituted with aryl, where the aryl is optionally substituted with from 1 to 5 substituents each of which is independently halo, -NO₂, -C₁₋₄ alkyl, or -O-C₁₋₄ alkyl,
- (2) C(=O)-C₁₋₄ alkyl,
- (3) C(=O)-C₁₋₄ haloalkyl,
- (4) C(=O)-C₁₋₄ alkylene-aryl, where the aryl is optionally substituted with from 1 to 5 substituents each of which is independently halo, -NO₂, -C₁₋₄ alkyl, or -O-C₁₋₄ alkyl,
- (5) C(=O)-O-C₁₋₄ alkyl,
- (6) C(=O)-O-(CH₂)₀₋₁-CH=CH₂, and

- (7) C(=O)-O-C₁₋₄ alkylene-aryl, where the aryl is optionally substituted with from 1 to 5 substituents each of which is independently halo, -NO₂, -C₁₋₄ alkyl, or -O-C₁₋₄ alkyl.

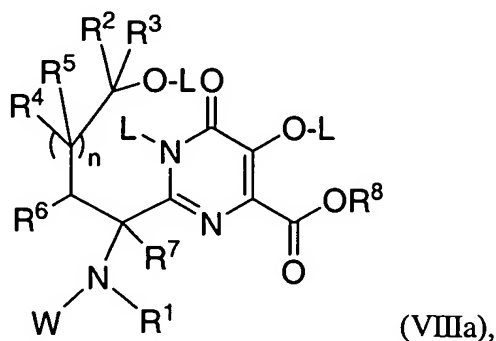
4. (original) The process according to claim 1, wherein R², R³, each R⁴, each R⁵, R⁶, and R⁷ are all H.

5. (original) The process according to claim 1, wherein the strong base in Step H or Step H-1 is selected from the group consisting of the alkali metals, alkali metal and alkaline earth metal halides, Group 2b transition metal halides, alkali metal salts and alkaline earth metal salts of di-C₁-C₆ alkylamines and C₄-C₈ cyclic secondary amines, alkali metal salts and alkaline earth metal salts of bis(tri-C₁₋₄ alkylsilyl)amines, alkali metal and alkaline earth metal hydrides, C₁₋₆ alkylolithiums, aryllithiums, mono- and di-(C₁₋₆ alkyl)aryllithiums, C₁₋₆ alkylmagnesium halides, arylmagnesium halides, alkali metal amides, C₁₋₆ alkoxides of alkali and alkaline earth metals, alkali metal carbonates and bicarbonates, alkali metal phosphates, and alkali metal and alkaline earth metal hydroxides.

6. (original) The process according to claim 1, which further comprises:
(F1) treating a compound of Formula VII:



with a hydroxy activating agent to form a product which is (i) the compound of Formula VIII, (ii) a compound of Formula VIIIa:



or (iii) a mixture of Compound VIII and Compound VIIIa;

(F2) then:

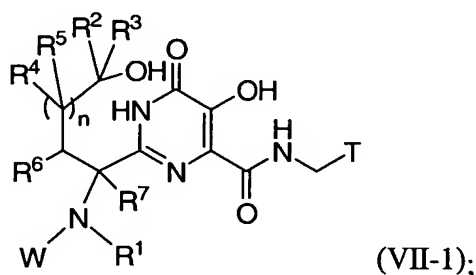
(1) when the product is (i) Compound VIII, proceeding directly to Step G or to Step H;

(2) when the product is (ii) Compound VIIIa, contacting the product with (a) a primary or secondary amine or (b) an alcohol, water, or an alcohol-water mixture in the presence of a base, to form Compound VIII; and

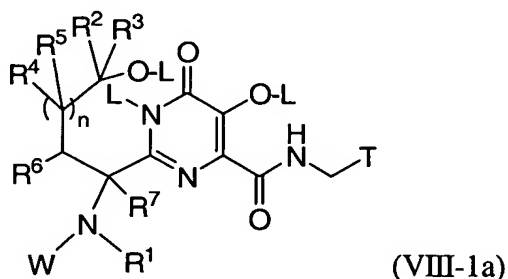
(3) when the product is (iii) a mixture of Compounds VIII and VIIIa, optionally contacting the product with (a) a primary or secondary amine or (b) an alcohol, water, or an alcohol-water mixture in the presence of a base, to form additional Compound VIII; and

(G) optionally reacting Compound VIII from Step F2 with a halide salt to form the compound of Formula IX; or

(F1-1) reacting a compound of Formula VII with an amine of formula T-CH₂NH₂ to obtain a compound of Formula VII-1:



(F1-2) treating a compound of Formula VII-1 with a hydroxy activating agent to form a product which is (i) a compound of Formula VIII-1, (ii) a compound of Formula VIII-2, (iii) a compound of Formula VIII-3, (iv) a compound of Formula VIII-1a, or (v) a mixture of two to four components selected from the group consisting of Compound VIII-1, Compound VIII-2, Compound VIII-3 and Compound VIII-1a;



(F2-1) then:

(1) when the product is (i) a compound of Formula VIII-1, (ii) a compound of Formula VIII-2, (iii) a compound of Formula VIII-3, or a mixture thereof, proceeding directly to Step G-1 or to Step H-1;

(2) when the product is (iv) Compound VIII-1a, contacting the product with (a) a primary or secondary amine or (b) an alcohol, water, or an alcohol-water mixture in the presence of a base, to form Compound VIII-1; and

(3) when the product is the mixture (v) containing VIII-1a, optionally contacting the product with (a) a primary or secondary amine or (b) an alcohol, water, or an alcohol-water mixture in the presence of a base, to form additional Compound VIII-1; and

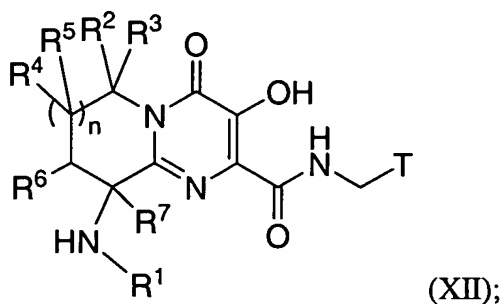
(G-1) optionally reacting Compound VIII-1 from Step F2-1 with a halide salt to form a compound of Formula IX-1.

7. (original) The process according to claim 6, wherein the activating agent in Step F1 or Step F1-2 is an agent of formula L-X; wherein L is $R^I\text{SO}_2$, $(R^J)_2\text{P}(\text{O})$, or $(R^K\text{O})_2\text{P}(\text{O})$ and X is halogen; wherein R^I is (i) C₁₋₆ alkyl, (ii) C₁₋₆ haloalkyl, (iii) C₁₋₆ alkyl substituted with aryl, (iv) aryl, or (v) camphoryl; each R^J is independently (i) C₁₋₆ alkyl, (ii) C₁₋₆ haloalkyl, (iii) C₁₋₆ alkyl substituted with aryl, or (iv) aryl; and each R^K is independently (i) C₁₋₆ alkyl or (ii) C₁₋₆ alkyl substituted with aryl; and wherein any aryl defined in R^I , R^J , and R^K is optionally substituted with from 1 to 5 substituents each of which is independently halogen, -C₁₋₄ alkyl, -O-C₁₋₄ alkyl, CF₃, OCF₃, CN, or nitro.

8. (presently amended) A process according to claim 1 which further comprises Steps I, J, and optionally Ja:

(I) reacting an amine of formula T-CH₂NH₂ with the compound of Formula X obtained from Step H to obtain a compound of Formula XI; and then

(J) treating the compound of Formula XI obtained from Step I or from Step H-1 with an amine deprotecting agent to remove group W and obtain for preparing a compound of Formula XII:



and then, when the compound of Formula XII is racemic, optionally:

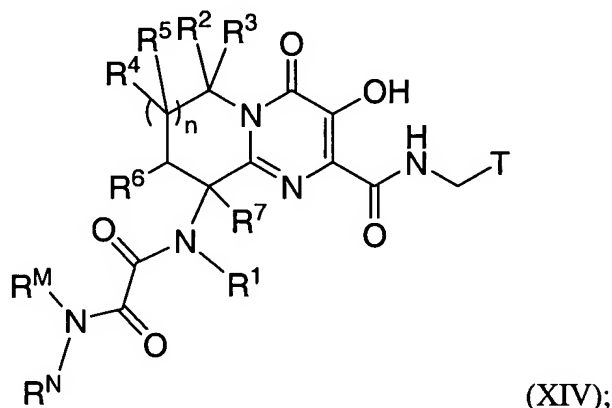
(iii) converting the ~~a~~ racemic compound of Formula XIIa to an enantiomerically-enriched form wherein the amount of (S)-Compound XIIa is greater than the amount of (R)-Compound XIIa, and

(iv) removing the L group from the enantiomerically-enriched form of Compound XIIa to obtain an enantiomerically enriched form of a compound of Formula XII. XIIa; or

~~(J^a)—converting a racemic compound of Formula XII to an enantiomerically-enriched form wherein the amount of (S)-Compound XII is greater than the amount of (R)-Compound XII.~~

9. (presently amended) A process according to claim 8 which further comprises:

(L) either (i) reacting the compound of Formula XII obtained from Step J with (i) (R^MR^N)N-C(=O)-C(=O)-OC(=O)-O-C₁₋₆ alkyl, or (ii) reacting the compound of Formula XII with R^FO-C(=O)-C(=O)-Z and then with (R^MR^N)NH, to obtain for preparing a compound of Formula XIV:



or

(L^a) either (i) reacting the enatiomerically enriched form of the compound of Formula XII obtained from Step I^a or J^a with (i) (R^MR^N)N-C(=O)-C(=O)-OC(=O)-O-C₁₋₆ alkyl, or (ii) reacting the compound of Formula XII with R^FO-C(=O)-C(=O)-Z and then with (R^MR^N)NH, to obtain an enantiomerically enriched form of Compound XIV;

~~which comprises conducting (i) Step H, Step I and Step J, and optional Step I^a or Step J^a, or (ii) Step H-1 and Step J and optional Step I^a or Step J^a as recited in claim 8; and which further comprises:~~

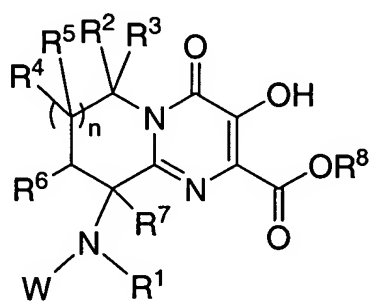
~~—(L)—either (i) reacting the compound of Formula XII with (i) (R^MR^N)N-C(=O)-C(=O)-OC(=O)-O-C₁₋₆ alkyl, or (ii) reacting the compound of Formula XII with R^FO-C(=O)-C(=O)-Z and then with (R^MR^N)NH, to obtain Compound XIV;~~

wherein R^M and R^N are each independently C_{1-6} alkyl or C_{1-6} alkyl substituted with aryl, or alternatively R^M and R^N together with the N to which both are attached form C_{4-7} azacycloalkyl;

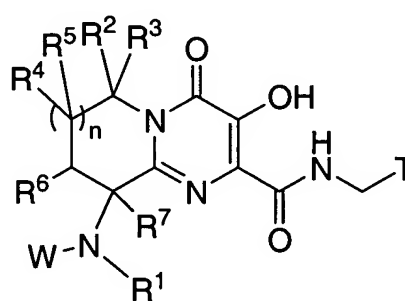
R^F is C_{1-6} alkyl; and

Z is halo or OH.

10. (original) A process for preparing a compound of Formula XX or Formula XI:



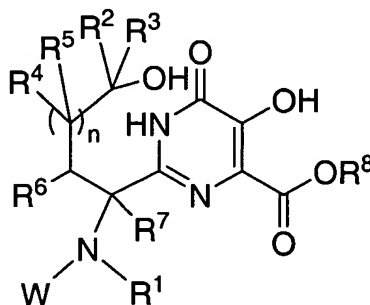
(XX)



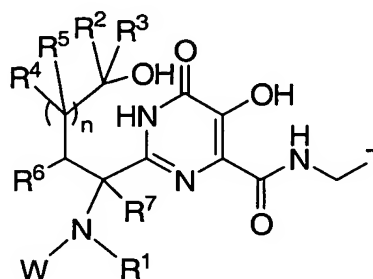
(XI)

which comprises:

(HZ) treating a compound of Formula VII or Formula VII-1:



(VII)



(VII-1)

with a trihydrocarbylphosphine reagent in the presence of an azodicarboxylate of Formula $R^Y O_2 C - N = N - CO_2 R^Z$ to form the compound of Formula XX or XI, respectively; wherein:

W is an amine protective group;

R^1 is:

- (1) H,
- (2) C_{1-6} alkyl,
- (3) C_{1-6} alkyl substituted with O- C_{1-6} alkyl, C_{3-8} cycloalkyl, or aryl,

wherein the cycloalkyl is optionally substituted with from 1 to 3 C_{1-6} alkyl groups and the aryl is

optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂, or

(4) aryl which is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

R², R³, each R⁴, each R⁵, R⁶, and R⁷ are independently:

- (1) H,
- (2) C₁₋₆ alkyl, or
- (3) C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl,

wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

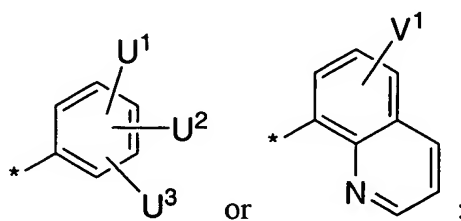
R⁸ is (i) a mixture of R^A and R^B, wherein R^A and R^B are different C₁₋₆ alkyl groups, or is (ii) R^C, wherein R^C is a C₁₋₆ alkyl;

R^Y and R^Z are each independently C₁₋₆ alkyl;

each aryl is independently phenyl or naphthyl;

n is an integer equal to zero, 1, 2 or 3;

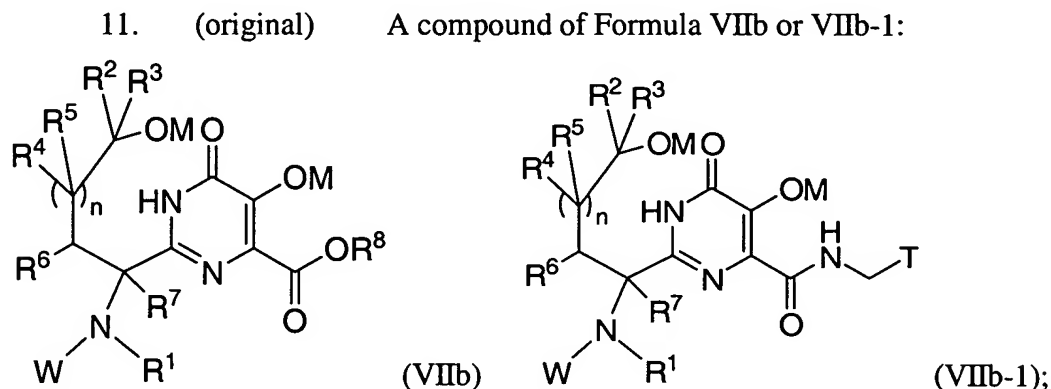
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U¹, U² and U³ are each independently selected from the group consisting of H, halo, C₁₋₆ alkyl, O-C₁₋₆ alkyl, C₁₋₆ fluoroalkyl, SO₂-C₁₋₆ alkyl, C(=O)-NH(-C₁₋₆ alkyl), C(=O)-N(-C₁₋₆ alkyl)₂, and HetA;

V¹ is H, halo, C₁₋₆ alkyl, or C₁₋₆ fluoroalkyl; and

each HetA is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with 1 or 2 C₁₋₆ alkyl groups.



wherein:

each M is H or a hydroxy activating group;

W is an amine protective group;

R¹ is:

- (1) H,
- (2) C₁₋₆ alkyl,
- (3) C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl,

wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂, or

(4) aryl which is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

R², R³, each R⁴, each R⁵, R⁶, and R⁷ are independently:

- (1) H,
- (2) C₁₋₆ alkyl, or
- (3) C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl,

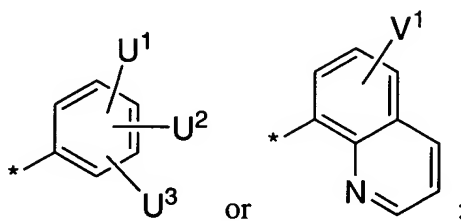
wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

R⁸ is (i) a mixture of R^A and R^B, wherein R^A and R^B are different C₁₋₆ alkyl groups, or is (ii) R^C, wherein R^C is a C₁₋₆ alkyl;

each aryl is independently phenyl or naphthyl;

n is an integer equal to zero, 1, 2 or 3;

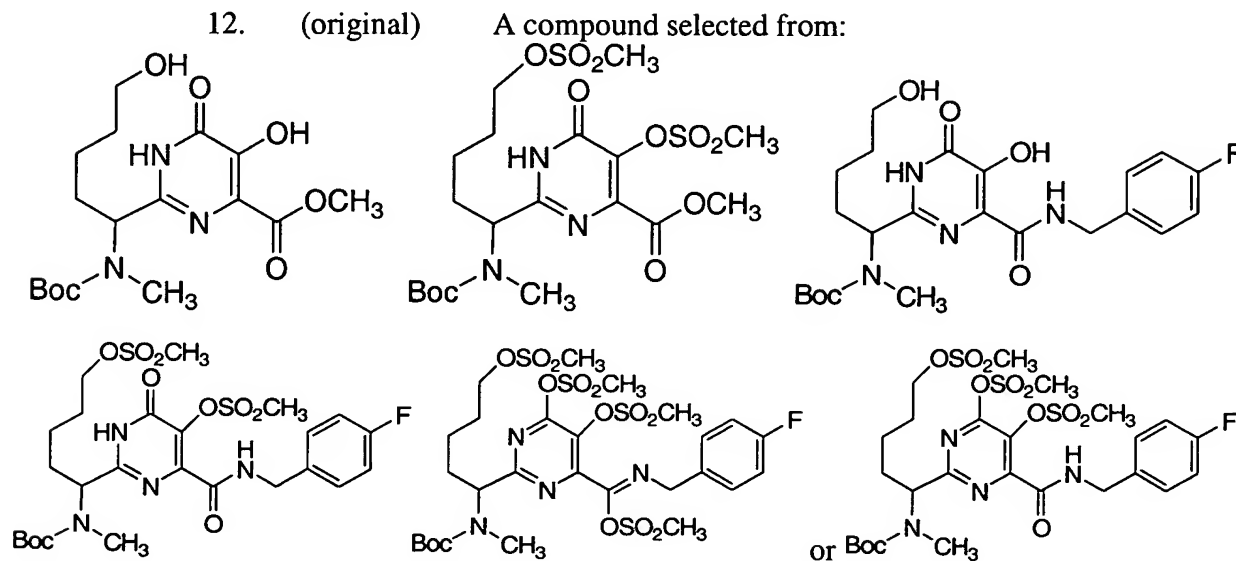
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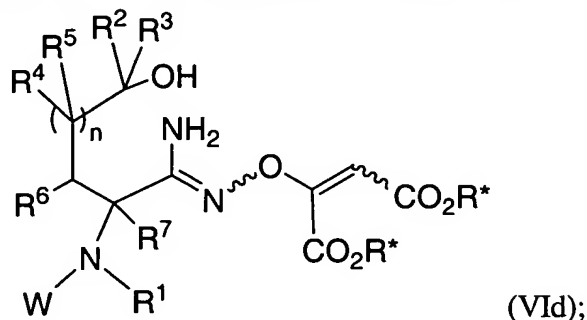
U¹, U² and U³ are each independently selected from the group consisting of H, halo, C₁₋₆ alkyl, O-C₁₋₆ alkyl, C₁₋₆ fluoroalkyl, SO₂-C₁₋₆ alkyl, C(=O)-NH-(C₁₋₆ alkyl), C(=O)-N-(C₁₋₆ alkyl)₂, and HetA;

V¹ is H, halo, C₁₋₆ alkyl, or C₁₋₆ fluoroalkyl; and

each HetA is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with 1 or 2 C₁₋₆ alkyl groups.



13. (original) A compound of Formula VIId:



wherein W is an amine protective group;

each R* is independently a C₁₋₆ alkyl group;

R¹ is:

- (1) H,
- (2) C₁₋₆ alkyl,
- (3) C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl,

wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂, or

(4) aryl which is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

R², R³, each R⁴, each R⁵, R⁶, and R⁷ are independently:

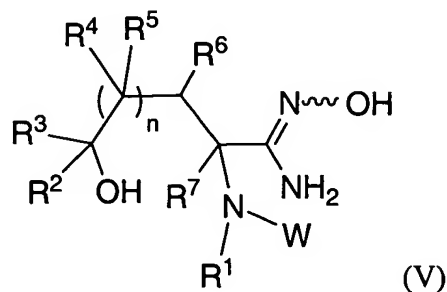
- (1) H,
- (2) C₁₋₆ alkyl, or
- (3) C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl,

wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

each aryl is independently phenyl or naphthyl; and

n is an integer equal to zero, 1, 2 or 3.

14. (original) A compound of Formula V:



wherein W is an amine protective group;

R¹ is:

- (1) H,
- (2) C₁₋₆ alkyl,
- (3) C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl,

wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂, or

(4) aryl which is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

R², R³, each R⁴, each R⁵, R⁶, and R⁷ are independently:

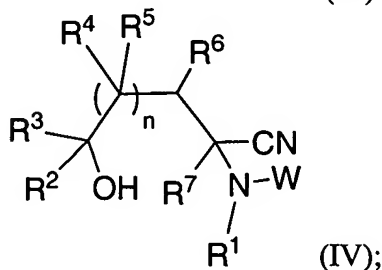
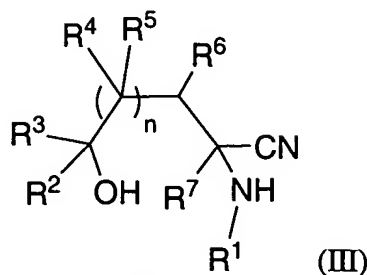
- (1) H,
- (2) C₁₋₆ alkyl, or
- (3) C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl,

wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

each aryl is independently phenyl or naphthyl; and

n is an integer equal to zero, 1, 2 or 3.

15. (original) A compound which is a compound of Formula III or a compound of Formula IV:



wherein W is an amine protective group;

R¹ is:

- (1) H,
- (2) C₁₋₆ alkyl,
- (3) C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl,

wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂, or

(4) aryl which is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

R², R³, each R⁴, each R⁵, R⁶, and R⁷ are independently:

- (1) H,
- (2) C₁₋₆ alkyl, or
- (3) C₁₋₆ alkyl substituted with O-C₁₋₆ alkyl, C₃₋₈ cycloalkyl, or aryl,

wherein the cycloalkyl is optionally substituted with from 1 to 3 C₁₋₆ alkyl groups and the aryl is optionally substituted with from 1 to 5 substituents each of which is independently C₁₋₆ alkyl, O-C₁₋₆ alkyl, CF₃, OCF₃, halo, CN, or NO₂;

each aryl is independently phenyl or naphthyl; and

n is an integer equal to zero, 1, 2 or 3.